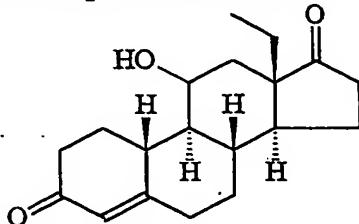


CLAIMS

1) A process for the preparation of Desogestrel, which comprises the regioselective reduction of the compound of formula

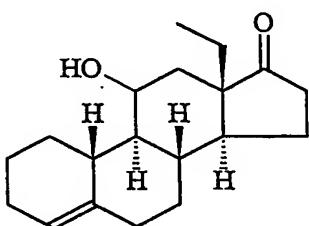
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XIIa

to give the compound of formula

10



XIVa

15 2) A process according to claim 1 in which said reduction is performed in the presence of an alkaline borohydride, a strong organic acid and a C₁-C₃ organic acid.

3) A process according to claim 2 in which said borohydride is sodium borohydride, said strong organic acid is trifluoroacetic acid and said C₁-C₃ organic acid is acetic acid.

20 4) A process according to claim 2 in which said reduction is performed in an organic solvent selected among dichloromethane, tetrahydrofuran or diglyme, preferably dichloromethane.

5) A process according to claim 2 in which the ratio between the moles of 25 borohydride and the moles of compound XIIa is between 8 and 2, preferably between 5.5 and 6.5.

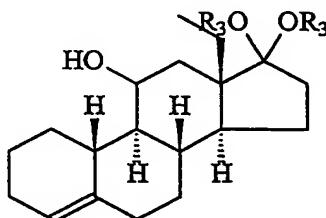
6) A process according to claim 3 in which trifluoroacetic acid and acetic acid are used in a ratio by volume from 2:1 to 1:2, preferably in a ratio by volume of 1:1.

7) A process according to claim 1 in which said reduction is performed with about 30 6 moles of sodium borohydride per mole of compound XIIa, trifluoroacetic acid and acetic acid in a ratio by volume of 1:1, in dichloromethane and at a temperature of reaction between 0°C and 25°C.

8) A process according to claim 1 which further comprises:

(b) the protection of the carbonyl group of the compound of formula XIVa to give the protected compound of formula

5



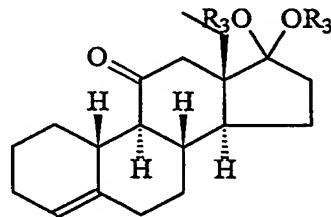
XV

in which

10 R₃ represents a C₁-C₅ alkyl group or the R₃ groups together represent a -(CH₂)_n- chain wherein n is an integer from 2 to 4, optionally substituted by one or more methyl groups;

(c) the subsequent oxidation reaction of the protected compound of formula XV to give the compound of formula

15

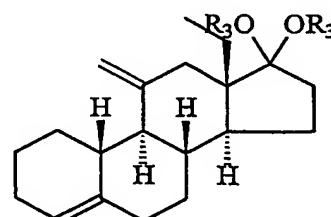


XVI

20 in which R₃ has the above reported meanings;

(d) the subsequent olefination reaction of the compound of formula XVI to give the compound of formula

25



XVII

in which R₃ has the above reported meanings.

9) A process according to claim 8 in which the two R₃ groups together form a -(CH₂)_n- chain wherein n is equal to 3.

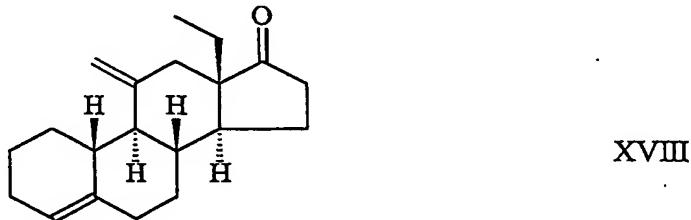
30 10) A process according to claim 8 in which the protection of the carbonyl group (b) is performed in the presence of 4-7 equivalents of 1,3-propandiol, of 2-4 moles

of triethylorthoformate per mole of substrate XIVa and of p-toluenesulfonic acid in a catalytic amount, at a temperature between 10 and 50°C, preferably at about 40°C.

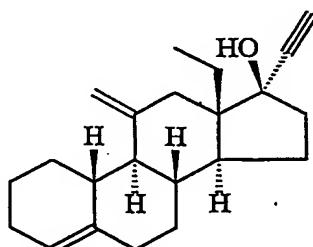
11) A process according to claim 8 in which the oxidation reaction (c) is
5 performed with a chromium based oxidant selected among 10% chromic acid in 9/1 pyridine/water (Conforth's reagent), pyridinium chlorochromate and 4-dimethylaminopyridinium chlorochromate, in an organic solvent selected among dichloromethane or admixtures of dichloromethane and water, in the presence of a phase transfer, or pyridine, at a concentration of substrate XV between 0.05 and
10 0.2 molar and at a temperature between 0°C and 15°C.

12) A process according to claim 8 in which the olefination reaction (d) is performed by reaction with methyltriphenylphosphonium iodide or chloride, in a polar aprotic solvent or in an ether, in the presence of 1.1-1.5 moles of a strong base per mole of phosphonium salt, at a temperature between 40°C and 90°C.

15 13) A process according to claim 8 which further comprises:
(e) the deprotection reaction of the compound of formula XVII to give the compound of formula

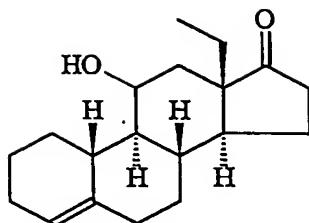


25 (f) the subsequent ethinylation reaction at the 17 position of the compound of formula XVIII to give Desogestrel of formula



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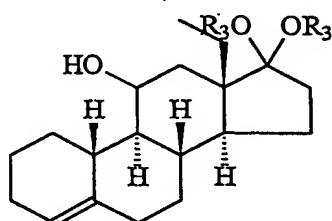
14) A process for the preparation of Desogestrel which comprises:
(b) the protection of the carbonyl group of the compound of formula



XIVa

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to give the protected compound of formula



XV

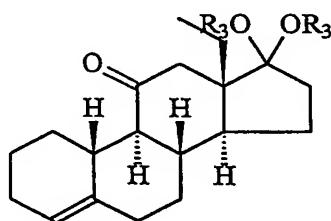
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in which

R₃ represents a C₁-C₅ alkyl or the two R₃ groups together represent a -(CH₂)_n-chain wherein n is an integer from 2 to 4, optionally substituted by one or more methyl groups;

15

(c) the subsequent oxidation reaction of the protected compound of formula XV to give the compound of formula



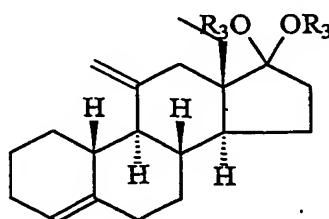
XVI

20

in which R₃ has the above reported meanings;

25

(d) the subsequent olefination reaction of the compound of formula XVI to give the compound of formula

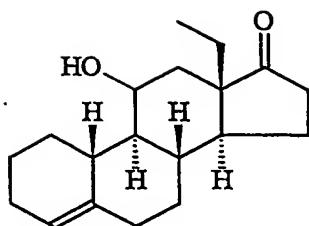


XVII

30 in which R₃ has the above reported meanings.

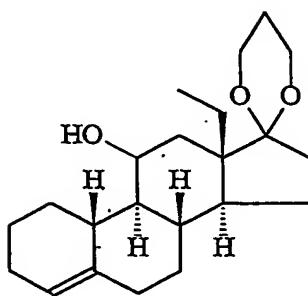
15) The compounds of formula

29



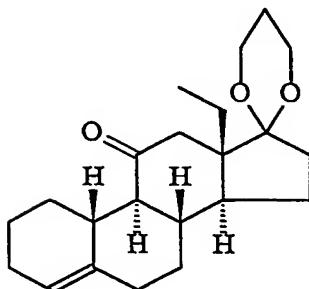
XIVa

5



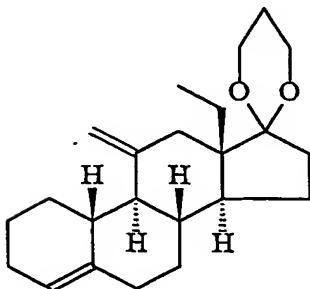
XVa

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XVIa

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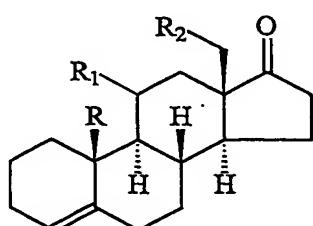


XVIIa

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16) A process for the preparation of a compound of formula

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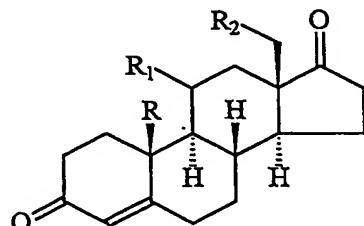


XIV

30 in which

R and R₂ represent H or CH₃, andR₁ represents H or OH,

by regioselective reduction of the compound of formula



XII

in which R, R₁ and R₂ have the meanings reported above.

17) A process according to claim 16 in cui R=H, R₁=OH e R₂=CH₃.

10 18) A process according to claims 16 or 17 in which said reduction is performed according to claims 2 to 7.

19) Use of the compounds of formula XIIa, XIVa and XV as intermediates for the preparation of Desogestrel.

15

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